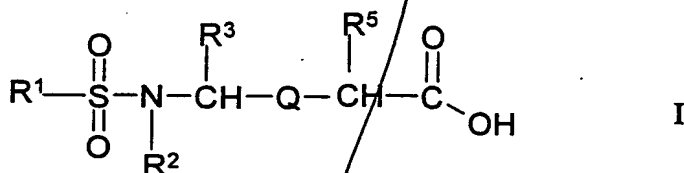


WHAT IS CLAIMED IS:

1. A compound of formula I:



10 wherein

*See*  
*Q1*  
R<sup>1</sup> is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

15 R<sup>2</sup> is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R<sup>1</sup> and R<sup>2</sup> together with the nitrogen atom bound to R<sup>2</sup> and the SO<sub>2</sub> group bound to R<sup>1</sup> can form a heterocyclic or a substituted heterocyclic group;

20 R<sup>3</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R<sup>2</sup> does not form a heterocyclic group with R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom bound to R<sup>2</sup> and the carbon atom bound to R<sup>3</sup> can form a  
25 heterocyclic or a substituted heterocyclic group;

R<sup>5</sup> is -(CH<sub>2</sub>)<sub>x</sub>-Ar-R<sup>5'</sup> where R<sup>5'</sup> is selected from the group consisting of -O-Z-NR<sup>8</sup>R<sup>8'</sup> and -O-Z-R<sup>12</sup> wherein R<sup>8</sup> and R<sup>8'</sup> are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R<sup>8</sup>  
30 and R<sup>8'</sup> are joined to form a heterocycle or a substituted heterocycle, R<sup>12</sup> is

selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of -C(O)- and -SO<sub>2</sub>-,

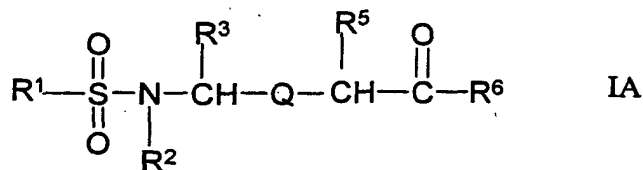
Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl,

x is an integer of from 1 to 4;

Q is -C(X)NR<sup>7</sup>- wherein R<sup>7</sup> is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof.

2. A compound of formula IA:



wherein

R<sup>1</sup> is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

R<sup>2</sup> is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R<sup>1</sup> and R<sup>2</sup> together with the nitrogen atom bound to R<sup>2</sup> and the SO<sub>2</sub> group bound to R<sup>1</sup> can form a heterocyclic or a substituted heterocyclic group;

R<sup>3</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R<sup>2</sup> does not form a heterocyclic group with R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> together with the

nitrogen atom bound to  $R^2$  and the carbon atom bound to  $R^3$  can form a heterocyclic or a substituted heterocyclic group;

$R^5$  is  $-(CH_2)_x-Ar-R^{5'}$  and  $R^{5'}$  is selected from the group consisting of  $-O-Z-NR^8R^{8'}$  and  $-O-Z-R^{12}$  wherein  $R^8$  and  $R^{8'}$  are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where  $R^8$  and  $R^{8'}$  are joined to form a heterocycle or a substituted heterocycle,  $R^{12}$  is selected from the group consisting of heterocycle and substituted heterocycle, and  $Z$  is selected from the group consisting of  $-C(O)-$  and  $-SO_2-$ ,

$Ar$  is aryl, heteroaryl, substituted aryl or substituted heteroaryl,  
 $x$  is an integer of from 1 to 4;

$R^6$  is selected from the group consisting of amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy,  $-O-(N-succinimidyl)$ ,  $-NH$ -adamantyl,  $-O$ -cholest-5-en-3- $\beta$ -yl,  $-NHOY$  where  $Y$  is hydrogen, alkyl, substituted alkyl, aryl, and substituted aryl,  $-NH(CH_2)_pCOOY$  where  $p$  is an integer of from 1 to 8 and  $Y$  is as defined above,  $-OCH_2NR^9R^{10}$  where  $R^9$  is selected from the group consisting of  $-C(O)$ -aryl and  $-C(O)$ -substituted aryl and  $R^{10}$  is selected from the group consisting of hydrogen and  $-CH_2COOR^{11}$  where  $R^{11}$  is alkyl, and  $-NHSO_2Z'$  where  $Z'$  is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic;

$Q$  is  $-C(X)NR^7-$  wherein  $R^7$  is selected from the group consisting of hydrogen and alkyl; and  $X$  is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof  
with the following provisos

(A) when  $R^1$  and  $R^2$  together with the  $SO_2$  group pendent to  $R^1$  and the nitrogen pendent to  $R^2$  form a saccharin-2-yl group,  $R^3$  is  $-CH_3$ ,  $R^5$  is  $p-[(CH_3)_2NC(O)O-]$ benzyl and  $Q$  is  $-C(O)NH-$  then  $R^6$  is not  $-OC(CH_3)_3$ ;

(B) when R<sup>1</sup> is *p*-methylphenyl, R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom pendent to R<sup>2</sup> and the carbon atom pendent to R<sup>3</sup> form a pyrrodinyl ring derived from D-proline; R<sup>5</sup> is *p*-[(4-methylpiperazin-1-yl)NC(O)O-]benzyl derived from D-phenylalanine and Q is -C(O)NH- then R<sup>6</sup> is not -OC(CH<sub>3</sub>)<sub>3</sub>;

(C) when R<sup>1</sup> is pyrimidin-2-yl, R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom bound to R<sup>2</sup> and the carbon atom bound to R<sup>3</sup> form a pyrrolidinyl ring, R<sup>5</sup> is *p*-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl and Q is -C(O)NH- then R<sup>6</sup> is not -OC(CH<sub>3</sub>)<sub>3</sub>; and

(D) when R<sup>1</sup> is *p*-methylphenyl, R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom pendent to R<sup>2</sup> and the carbon atom pendent to R<sup>3</sup> form a (2S)-piperazin-2-carbonyl ring; R<sup>5</sup> is *p*-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl and Q is -C(O)NH- then R<sup>6</sup> is not -OC(CH<sub>3</sub>)<sub>3</sub>.

3. A compound according to Claims 1 or 2 wherein R<sup>1</sup> is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl.

4. A compound according to Claims 1 or 2 wherein R<sup>1</sup> is selected from the group consisting of methyl, isopropyl, *n*-butyl, benzyl, phenethyl, phenyl, 4-methylphenyl, 4-*t*-butylphenyl, 2,4,6-trimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 3,4-difluorophenyl, 3,5-difluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 3,5-dichlorophenyl, 3-chloro-4-fluorophenyl, 4-bromophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3,4-dimethoxyphenyl, 4-*t*-butoxyphenyl, 4-(3'-dimethylamino-*n*-propoxy)-phenyl, 2-carboxyphenyl, 2-(methoxycarbonyl)phenyl, 4-(H<sub>2</sub>NC(O)-)phenyl, 4-(H<sub>2</sub>NC(S)-)phenyl, 4-cyanophenyl, 4-trifluoromethylphenyl, 4-trifluoromethoxyphenyl, 3,5-di(trifluoromethyl)phenyl, 4-nitrophenyl, 4-aminophenyl, 4-(CH<sub>3</sub>C(O)NH-)phenyl, 4-(PhNHC(O)NH-)phenyl, 4-amidinophenyl, 4-

methyramidinophenyl, 4-(CH<sub>3</sub>SC(=NH)-)phenyl, 4-chloro-3-(H<sub>2</sub>NS(O)<sub>2</sub>-)  
phenyl, 1-naphthyl, 2-naphthyl, pyridin-2-yl, pyridin-3-yl, pyrimidin-2-yl,  
quinolin-8-yl, 2-(trifluoroacetyl)-1,2,3,4-tetrahydroisoquinolin-7-yl,  
morpholin-4-yl, 2-thienyl, 5-chloro-2-thienyl, 2,5-dichloro-4-thienyl, 1-N-  
5 methylimidazol-4-yl, 1-N-methylpyrazol-3-yl, 1-N-methylpyrazol-4-yl, 1-N-  
butylpyrazol-4-yl, 1-N-methyl-3-methyl-5-chloropyrazol-4-yl, 1-N-methyl-5-  
methyl-3-chloropyrazol-4-yl, 2-thiazolyl and 5-methyl-1,3,4-thiadiazol-2-yl.

5. A compound according to Claims 1 or 2 wherein R<sup>2</sup> is selected  
10 from the group consisting of hydrogen, methyl, phenyl, benzyl, -(CH<sub>2</sub>)<sub>2</sub>-2-  
thienyl, and -(CH<sub>2</sub>)<sub>2</sub>-φ.

6. A compound according to Claims 1 or 2 wherein R<sup>1</sup> and R<sup>2</sup>  
together with the nitrogen atom bound to R<sup>2</sup> and the SO<sub>2</sub> group bound to R<sup>1</sup>  
15 are joined to form a heterocyclic group or substituted heterocyclic group.

7. A compound according to Claims 1 or 2 wherein R<sup>2</sup> and R<sup>3</sup>  
together with the nitrogen atom bound to R<sup>2</sup> substituent and the carbon  
bound to the R<sup>3</sup> substituent form a heterocyclic group or a substituted  
20 heterocyclic group.

8. A compound according to Claim 7 wherein the heterocyclic  
group is saturated.

9. A compound according to Claim 7 wherein the heterocyclic  
25 group is selected from the group consisting of azetidiny, thiazolidiny, piperidiny, piperaziny, dihydroindolyl, tetrahydroquinoliny, thiomorpholiny, pyrrolidiny, 4-hydroxypyrrolidiny, 4-oxopyrrolidiny, 4-fluoropyrrolidiny, 4,4-difluoropyrrolidiny, 4-(thiomorpholin-4-yl)C(O)O-  
30 )pyrrolidiny, 4-(CH<sub>3</sub>S(O)<sub>2</sub>O-)pyrrolidiny, 3-phenylpyrrolidiny, 3-thiophenylpyrrolidiny, 4-aminopyrrolidiny, 3-methoxypyrrolidiny, 4,4-

dimethylpyrrolidinyl, 4-N-Cbz-piperazinyl, 4-(CH<sub>3</sub>S(O)<sub>2</sub>-)piperazinyl, 5,5-dimethylthiazolidin-4-yl, 1,1-dioxo-thiazolidinyl, 1,1-dioxo-5,5-dimethylthiazolidin-2-yl and 1,1-dioxothiомorpholinyl.

5           10.     A compound according to Claims 1 or 2 wherein R<sup>3</sup> is selected from the group consisting of methyl, phenyl, benzyl, diphenylmethyl, -CH<sub>2</sub>CH<sub>2</sub>-COOH, -CH<sub>2</sub>-COOH, 2-amidoethyl, *iso*-butyl, *t*-butyl, -CH<sub>2</sub>O-benzyl and hydroxymethyl.

10           11.     A compound according to Claims 1 or 2 wherein Q is -C(O)NH- or -C(S)NH-.

15           12.     A compound according to Claims 1 or 2 wherein Ar is aryl or substituted aryl.

            13.     A compound according to Claim 12 wherein Ar is phenyl or substituted phenyl and x is 1.

20           14.     A compound according to Claim 1 or 2 wherein R<sup>5</sup> is selected from the group consisting of

3-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl,

4-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl,

4-[(CH<sub>3</sub>)<sub>2</sub>NS(O)<sub>2</sub>O-]benzyl,

25           4-[(piperidin-1'-yl)C(O)O-]benzyl,

4-[(piperidin-4'-yl)C(O)O-]benzyl,

4-[(1'-methylpiperidin-4'-yl)C(O)O-]benzyl,

4-[(4'-hydroxypiperidin-1'-yl)C(O)O-]benzyl,

4-[(4'-formyloxypiperidin-1'-yl)C(O)O-]benzyl,

30           4-[(4'-ethoxycarbonylpiperidin-1'-yl)C(O)O-]benzyl,

4-[(4'-carboxypiperidin-1'-yl)C(O)O-]benzyl,

4-[(3'-hydroxymethylpiperidin-1'-yl)C(O)O-]benzyl,

- 4-[(4'-hydroxymethylpiperidin-1'-yl)C(O)O-]benzyl,  
 4-[(4'-phenyl-1'-Boc-piperidin-4'-yl)-C(O)O-]benzyl,  
 4-[(4'-piperidon-1'-yl ethylene ketal)C(O)O-]benzyl,  
 4-[(piperazin-4'-yl)-C(O)O-]benzyl,  
 5 4-[(1'-Boc-piperazin-4'-yl)-C(O)O-]benzyl,  
 4-[(4'-methylpiperazin-1'-yl)C(O)O-]benzyl,  
 4-[(4'-methylhomopiperazin-1'-yl)C(O)O-]benzyl,  
 4-[(4'-(2-hydroxyethyl)piperazin-1'-yl)C(O)O-]benzyl,  
 4-[(4'-phenylpiperazin-1'-yl)C(O)O-]benzyl,  
 10 4-[(4'-(pyridin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,  
 4-[(4'-(4-trifluoromethylpyridin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,  
 4-[(4'-(pyrimidin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,  
 4-[(4'-acetyl piperazin-1'-yl)C(O)O-]benzyl,  
 4-[(4'-(phenylC(O)-)piperazin-1'-yl)C(O)O-]benzyl,  
 15 4-[(4'-(pyridin-4-ylC(O)-)piperazin-1'-yl)C(O)O-]benzyl,  
 4-[(4'-(phenylNHC(O)-)piperazin-1'-yl)C(O)O-]benzyl,  
 4-[(4'-(phenylNHC(S)-)piperazin-1'-yl)C(O)O-]benzyl,  
 4-[(4'-methanesulfonylpiperazin-1'-yl-C(O)O-]benzyl,  
 4-[(4'-trifluoromethanesulfonylpiperazin-1'-yl-C(O)O-]benzyl,  
 20 4-[(morpholin-4'-yl)C(O)O-]benzyl,  
 3-nitro-4-[(morpholin-4'-yl)-C(O)O-]benzyl,  
 4-[(thiomorpholin-4'-yl)C(O)O-]benzyl,  
 4-[(thiomorpholin-4'-yl sulfone)-C(O)O-]benzyl,  
 4-[(pyrrolidin-1'-yl)C(O)O-]benzyl,  
 25 4-[(2'-methylpyrrolidin-1'-yl)C(O)O-]benzyl,  
 4-[(2'-(methoxycarbonyl)pyrrolidin-1'-yl)C(O)O-]benzyl,  
 4-[(2'-(hydroxymethyl)pyrrolidin-1'-yl)C(O)O-]benzyl,  
 4-[(2'-(N,N-dimethylamino)ethyl)(CH<sub>3</sub>)NC(O)O-]benzyl,  
 4-[(2'-(N-methyl-N-toluene-4-sulfonylamino)ethyl)(CH<sub>3</sub>)N-  
 30 C(O)O-]benzyl,  
 4-[(2'-(morpholin-4'-yl)ethyl)(CH<sub>3</sub>)NC(O)O-]benzyl,

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- 4-[(2'-(hydroxy)ethyl)(CH<sub>3</sub>)NC(O)O-]benzyl,  
 4-[bis(2'-(hydroxy)ethyl)NC(O)O-]benzyl,  
 4-[(2'-(formyloxy)ethyl)(CH<sub>3</sub>)NC(O)O-]benzyl,  
 4-[(CH<sub>3</sub>OC(O)CH<sub>2</sub>)HNC(O)O-]benzyl,  
 5 4-[2'-(phenylNHC(O)O-)ethyl-]HNC(O)O-]benzyl,  
 3-chloro-4-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl,  
 3-chloro-4-[(4'-methylpiperazin-1'-yl)C(O)O-]benzyl,  
 3-chloro-4-[(4'-(pyridin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,  
 3-chloro-4-[(thiomorpholin-4'-yl)C(O)O-]benzyl, and  
 10 3-fluoro-4-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl.

15. A compound according to Claim 2 wherein R<sup>6</sup> is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), methoxy, ethoxy, *n*-propoxy, isopropoxy, *n*-butoxy, *t*-butoxy, cyclopentoxy,  
 15 cyclopropylmethoxy, neopentoxo, 2- $\alpha$ -isopropyl-4- $\beta$ -methylcyclohexoxy, 2- $\beta$ -isopropyl-4- $\beta$ -methylcyclohexoxy, 2-methoxyphenoxy, 2-(morpholin-4-yl)ethoxy, -O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>2</sub>CH<sub>3</sub>, 2-(phenoxy)ethoxy, -OCH<sub>2</sub>C(CH<sub>3</sub>)<sub>2</sub>NHBoc, -NH<sub>2</sub>, benzyloxy, -NHCH<sub>2</sub>COOH, -NHCH<sub>2</sub>CH<sub>2</sub>COOH, -NH-adamantyl, -NHSO<sub>2</sub>-*p*-CH<sub>3</sub>- $\phi$ , -NHCH<sub>2</sub>CH<sub>2</sub>COOCH<sub>2</sub>CH<sub>3</sub>, -NHOY' where Y' is  
 20 hydrogen, methyl, *iso*-propyl or benzyl, -O-(N-succinimidyl), -O-cholest-5-en-3- $\beta$ -yl, -OCH<sub>2</sub>-OC(O)C(CH<sub>3</sub>)<sub>3</sub>, -O(CH<sub>2</sub>)<sub>2</sub>NHC(O)W where z is 1 or 2 and W is selected from the group consisting of pyrid-3-yl, N-methylpyridyl, and N-methyl-1,4-dihydro-pyrid-3-yl, -NR''C(O)-R' where R' is aryl, heteroaryl or heterocyclic and R'' is hydrogen or -CH<sub>2</sub>C(O)OCH<sub>2</sub>CH<sub>3</sub>.

25

16. A compound selected from the group consisting of:  
 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester  
 30 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester



- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- 5 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *n*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine cyclopentyl ester
- 10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- 15 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *n*-butyl ester
- 20 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine cyclopentyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 30 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoxyloxy)phenylalanine ethyl ester
- N*-( $\alpha$ -toluenesulfonyl)-L-prolyl-L-4-(*N*-methylisonipecotoxyloxy)phenylalanine ethyl ester
- 35 *N*-( $\alpha$ -toluenesulfonyl)-L-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-3-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester
- 40 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butylcarbonyloxy-4-phenylpiperidin-4-ylcarbonyloxy)phenylalanine ethyl ester
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- N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 5 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 10 *N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 15 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- 20 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 30 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylaminosulfonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylaminosulfonyloxy)phenylalanine
- 35 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
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- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-( $\alpha$ -toluenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 10 *N*-( $\alpha$ -toluenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *N*-adamantyl amide
- 15 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanylglycine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylaminosulfonyloxy)phenylalanine methyl ester
- 20 *N*-(toluene-4-sulfonyl)-L-(piperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-(4-benzoyloxycarbonylpiperazin-2-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(isonipecotoyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiamorpholin-3-carbonyl]-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine
- 35 *N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 45

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- N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 5      2-(saccharin-2-yl)propionoyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-(1,1-dioxo-5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 10      *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(pyridine-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 15      *N*-(toluene-4-sulfonyl)-D-prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 20      *N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-[(1,1-dioxo)thiomorpholin-3-carbonyl]-L-4-(*N,N*-dimethylaminosulfonyloxy)phenylalanine *tert*-butyl ester
- 25      *N*-(toluene-4-sulfonyl)sarcosyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 30      *N*-(toluene-4-sulfonyl)-L-*N*-methylalanyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine
- 35      *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(isonipecotoyloxy)phenylalanine
- 40      *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine
- 45      *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(morpholin-4-ylcarbonyloxy)phenylalanine

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- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine neopentyl ester
- 5 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine neopentyl ester
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester
- 10 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(morpholin-4-ylcarbonyloxy)phenylalanine ethyl ester
- 2-(saccharin-2-yl)propionoyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 15 2-(saccharin-2-yl)propionoyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)sarcosyl-*L*-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(toluene-4-sulfonyl)sarcosyl-*L*-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- 25 *N*-(toluene-4-sulfonyl)-*L*-*N*-methylalanyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-(thiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(toluene-4-sulfonyl)sarcosyl-*L*-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(toluene-4-sulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(toluene-4-sulfonyl)-*L*-*N*-methylalanyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(4-fluorobenzenesulfonyl)-*L*-(thiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
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- N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(pyridine-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(pyrimidine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 10 *N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 15 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylaminosulfonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 20 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 30 *N*-(toluene-4-sulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(4-fluorobenzenesulfonyl)-L-thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 35 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(1-*tert*-butoxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester
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- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-acetylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester
- 5 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine ethyl ester
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(morpholin-4-ylcarbonyloxy)-3-nitrophenylalanine
- 10 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(1-*tert*-butyloxycarbonylpiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-*N*-methyl-2-(*tert*-butyl)glycinyll-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 15 *N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-*L*-(1,1-dioxothiomorpholin-3-carbonyl)-*L*-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(toluene-4-sulfonyl)-*L*-(1,1-dioxothiomorpholin-3-carbonyl)-*L*-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(4-fluorobenzenesulfonyl)-*L*-prolyl-*L*-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-*L*-prolyl-*L*-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 30 *N*-(4-fluorobenzenesulfonyl)-*L*-prolyl-*L*-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 35 *N*-(4-fluorobenzenesulfonyl)-*L*-(1,1-dioxothiomorpholin-3-carbonyl)-*L*-4-(morpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(4-trifluoromethoxybenzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-(1,1-dioxothiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
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- 3-[*N*-(toluene-4-sulfonyl)-*N*-methylamino]-1-[1-*tert*-butyloxycarbonyl-2-(*N,N*-dimethylcarbamyloxy)phenylethyl]azetidine
- 5      *N*-(4-fluorobenzenesulfonyl)-*L*-(1,1-dioxo-5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-(1,1-dioxo-5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 10      *N*-(toluene-4-sulfonyl)-*L*-(1,1-dioxothiomorpholin-3-carbonyl)-*L*-4-(morpholin-4-ylcarbonyloxy)phenylalanine
- N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 15      *N*-(pyrimidine-2-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-(1,1-dioxothiomorpholin-3-carbonyl)-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 20      3-[*N*-(toluene-4-sulfonyl)-*N*-methylamino]-1-[1-carboxy-2-(*N,N*-dimethylcarbamyloxy)phenylethyl]azetidine
- 25      *N*-(1-methylpyrazole-4-sulfonyl)-*L*-prolyl-*L*-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-*L*-(1,1-dioxo)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 30      *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(isonipecotoyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(1,1-dioxothiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 35      *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 40      *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(pyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-*L*-thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
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- N*-(4-fluorobenzenesulfonyl)-L-(1,1-dioxo)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(2,5-dichlorothiophene-3-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 10 *N*-(4-*tert*-butylbenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(pyridine-2-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 15 *N*-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(3-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(2,4-difluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-acetamidobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 25 *N*-(4-trifluoromethoxybenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 30 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 35 *N*-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-(3,3-dimethyl)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 40 *N*-(1-methylpyrazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *iso*-propyl ester
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- N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 5 N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine ethyl ester
- 10 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-acetylpiperazin-1-ylcarbonyloxy)phenylalanine
- 15 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-phenylpiperazin-1-ylcarbonyloxy)phenylalanine
- 20 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 25 2-(saccharin-2-yl)propionyl-L-4-(4'-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 30 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine (N'-*tert*-butoxycarbonyl-2-amino-2-methylpropyl) ester
- 35 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-acetylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-hydroxypiperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 40 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-(morpholin-4'-yl)ethyl)carbamyloxy)phenylalanine *tert*-butyl ester
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(1,4-dioxa-8-aza-spiro[4.5]decan-8-yl)carbonyloxy)phenylalanine *tert*-butyl ester
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- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-hydroxyethyl)-N-methylcarbamyloxy)phenylalanine *tert*-butyl ester
- 5 N-(toluene-4-sulfonyl)-L-prolyl-4-(4'-(2-hydroxyethyl)piperazin-1-ylcarbonyloxy)-L-phenylalanine *tert*-butyl ester
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-formyloxyethyl)-N-methylcarbamyloxy)phenylalanine
- 10 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(2'-hydroxyethyl)-N-methylcarbamyloxy)phenylalanine isopropyl ester
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(N-(methoxycarbonylmethyl)carbamyloxy)phenylalanine *tert*-butyl ester
- 15 N-(1-methylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-(4-N,N-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methoxypiperidin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- 20 N-(toluene-4-sulfonyl)-L-prolyl-L-4-(4'-methoxypiperidin-1-ylcarbonyloxy)phenylalanine
- 25 N-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N-(toluene-4-sulfonyl)-L-*trans*-4-hydroxyprolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 30 N-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N-(morpholino-sulfonyl)-L-prolyl-L-(4-N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 35 N-(morpholino-sulfonyl)-L-prolyl-L-(4-N,N-dimethylcarbamyloxy)phenylalanine
- 40 N-(1-methylpyrazole-4-sulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-4-(N,N-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N-(2-fluorobenzenesulfonyl)-L-(1,1-dioxothiamorpholin-3-carbonyl)-L-(N,N-dimethylcarbamyloxy)phenylalanine
- 45

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- N*-(2,4-difluorobenzenesulfonyl)-*L*-(1,1-dioxothiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 5 *N*-(toluene-4-sulfonyl)-*L*-(thiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(pyridine-3-sulfonyl)-*L*-(5,5-dimethyl-thiaprolyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 10 *N*-(3-fluorobenzenesulfonyl)-*L*-(1,1-dioxothiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(1-methylpyrazole-4-sulfonyl)-*L*-(1,1-dioxothiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 15 *N*-(4-*tert*-butylbenzenesulfonyl)-*L*-(1,1-dioxothiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-(3,3-dimethyl)prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 20 *N*-(2,5-dichlorothiophene-3-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 25 *N*-(4-methoxybenzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(4-methoxybenzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 30 *N*-(toluene-4-sulfonyl)-*L*-(1-oxo-thiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-(1-oxo-thiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(3,4-difluorobenzenesulfonyl)-*L*-prolyl-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(3,4-difluorobenzenesulfonyl)-*L*-prolyl-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 40 *N*-(3,4-difluorobenzenesulfonyl)-*L*-(1,1-dioxothiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
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- N*-(3,4-difluorobenzenesulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 5 *N*-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- 10 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester
- N*-(pyridine-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 15 *N*-(pyridine-2-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(pyridine-2-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 20 *N*-(pyridine-2-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(pyridine-2-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 25 *N*-(toluene-4-sulfonyl)-*L*-(thiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 30 *N*-(3-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(2-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 35 *N*-(3,4-difluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 40 *N*-(3,5-difluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(2,4-difluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 45

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- N*-(4-chlorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 5 *N*-(3-chlorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(2-chlorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 10 *N*-(3,4-dichlorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(3,5-dichlorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 15 *N*-(3-chlorobenzenesulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(3,4-dichlorobenzenesulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 20 *N*-(4-methoxybenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 25 *N*-(3-methoxybenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(2-methoxybenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 30 *N*-(3,4-dimethoxybenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(2,4-difluorobenzenesulfonyl)-*L*-(thiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 35 *N*-(3,4-dichlorobenzenesulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(3-chlorobenzenesulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 40 *N*-(3-chloro-4-fluorobenzenesulfonyl)-*L*-(1,1-dioxothiamorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
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- N*-(1-methylpyrazole-4-sulfonyl)-*L*-(thiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(3,4-difluorobenzenesulfonyl)-*L*-(thiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiopropyl-*L*-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester
- 10 *N*-(3,4-difluorobenzenesulfonyl)-*L*-(thiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(2,5-dichlorothiophene-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 15 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester
- N*-(8-quinolinesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 20 *N*-(8-quinolinesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(8-quinolinesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 25 *N*-(8-quinolinesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 30 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-phenylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4'-(ethoxycarbonyl)piperidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(pyridine-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(3-sulfonamido-4-chloro-benzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 40 *N*-(toluene-4-sulfonyl)-*L*-(1-oxothiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
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- N*-(2,4-difluorobenzenesulfonyl)-*L*-(1-oxothiomorpholin-3-carbonyl)-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 5 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropyl ester
- N*-(pyridine-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropyl ester
- 10 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine cyclopropylmethyl ester
- N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine methyl ester
- 15 *N*-(pyridine-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine ethyl ester
- N*-(pyridine-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine cyclopropylmethyl ester
- 20 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-methoxyphenyl ester
- N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *n*-butyl ester
- 25 *N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *n*-propyl ester
- N*-(1-methylpyrazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2,2-dimethylpropionyloxymethyl ester
- 30 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N*-(4'-(2'-aminoethyl)morpholino)carbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-[4-(carboxy)piperidin-1-ylcarbonyloxy]phenylalanine
- 40 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-bis-(2-hydroxyethyl)carbamyloxy)phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine isopropyl ester
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*N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(4-trifluoromethanesulfonylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

- 5 *N*-(4-(*N*-phenylurea)benzenesulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 10 *N*-(2-trifluoroacetyl-1,2,3,4-tetrahydroisoquinolin-7-sulfonyl)-*L*-prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 15 *N*-(1-methylpyrazole-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 20 *N*-(1-methylpyrazole-3-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 25 *N*-(pyridine-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 30 *N*-(pyridine-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 35 *N*-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine *tert*-butyl ester
- 40 *N*-(toluene-4-sulfonyl)-*L*-prolyl-*L*-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine *tert*-butyl ester
- 45 *N*-(toluene-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N*-methyl-*N*-(2-dimethylaminoethyl)carbamyloxy)phenylalanine
- 50 *N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 55 *N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 60 *N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 65 *N*-(4-fluorobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester

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- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester
- 5 *N*-(toluene-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-methylpiperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester
- 10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)]phenylalanine isopropyl ester
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2'-pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester
- 15 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2'-pyridyl)-piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester
- N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 20 *N*-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester
- 25 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylcarbamyloxy)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- 30 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-phenylcarbamyloxy)piperazin-1-ylcarbonyloxy)phenylalanine
- N*-(1-*n*-butylpyrazole-4-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 35 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(pyridin-4-ylcarbonyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- N*-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 40 *N*-(toluene-4-sulfonyl)-L-*trans*-4-hydroxyprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
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- N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 5 *N*-(4-aminobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[3-(hydroxymethyl)piperidin-1-ylcarbonyloxy]phenylalanine
- N*-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 15 *N*-(toluene-4-sulfonyl)-L-(4,4-difluoro)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- 20 *N*-(1-methyl-1*H*-imidazole-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 25 *N*-(toluene-4-sulfonyl)-L-4-(thiomorpholin-4-ylcarbonyloxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(4-cyanobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine isopropyl ester
- 30 *N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine methyl ester
- N*-(toluene-4-sulfonyl)-L-4-oxoprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 35 *N*-(toluene-4-sulfonyl)-L-4-hydroxyprolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- 40 *N*-(toluene-4-sulfonyl)-L-prolyl-L-(4-benzoylpiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine methyl ester
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- N*-(3-fluorobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 5 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[*N*-methyl-*N*-(2-(*N'*-methyl-*N'*-toluenesulfonyl-amino)ethyl)carbamyloxy]phenylalanine isopropyl ester
- 10 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-[*N*-(2-(*N'*-phenylaminocarbonyloxy)ethyl)carbamyloxy]]phenylalanine isopropyl ester
- 15 *N*-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 20 *N*-(4-fluorobenzenesulfonyl)-L-4-(*trans*-hydroxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 30 piperazine-1,4-dicarboxylic acid bis-{4-[(2*S*)-2-*tert*-butoxycarbonyl-2-((4*R*)-5,5-dimethyl-3-(toluene-4-sulfonyl)thiazolidine-4-carboxamido)ethyl]phenyl} ester
- 35 piperazine-1,4-dicarboxylic acid bis-{4-[(2*S*)-2-carboxy-2-((4*R*)-5,5-dimethyl-3-(toluene-4-sulfonyl)thiazolidine-4-carboxamido)ethyl]phenyl} ester
- 40 *N*-(toluene-4-sulfonyl)-L-(pyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 45 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(2-hydroxymethylpyrrolidin-1-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(2-methoxycarbonylpyrrolidin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine

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- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester
- 5 piperazine-1,4-dicarboxylic acid bis-{4-[(2*S*)-2-isopropoxycarbonyl-2-((2*R*)-1-(toluene-4-sulfonyl)pyrrolidine-2-carboxamido)ethyl]phenyl} ester
- 10 *N*-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-(2-methoxyethoxy)ethyl ester
- 15 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-fluoro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 20 *N*-(toluene-4-sulfonyl)-L-(1-methanesulfonylpyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-[2-(1,1-dioxo-2,3-dihydro-3,3-dimethyl-1,2-benzisothiazol-2-yl)acetyl]-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 25 *N*-[2-(*N*-2,10-camphorsultamyl)acetyl]-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-[2-(*N*-2,10-camphorsultamyl)acetyl]-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 30 *N*-[2-(*N*-2,10-camphorsultamyl)acetyl]-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 35 *N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- 40 *N*-(toluene-4-sulfonyl)-L-(4-hydroxy)prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyrimidyl)piperazin-1-ylcarbonyloxy)]phenylalanine
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- piperazine-1,4-dicarboxylic acid bis-{4-[(2S)-2-*tert*-butoxycarbonyl-2-((2R)-1-(toluene-4-sulfonyl)pyrrolidine-2-carboxamido)ethyl]phenyl} ester
- 5 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester
- 10 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
- N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 15 *N*-(toluene-4-sulfonyl)-L-(4-oxo)prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(toluene-4-sulfonyl)-L-(4-oxo)prolyl-L-4-(4-methylpiperazin-1-ylcarbonyloxy)phenylalanine
- 20 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine
- N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester
- 25 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine *tert*-butyl ester
- 30 *N*-(4-bromobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(*N*-phenylthiocarbonyl)piperazin-1-ylcarbonyloxy)]phenylalanine isopropyl ester
- 35 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 40 piperazine-1,4-dicarboxylic acid bis-{4-[(2S)-2-carboxyl-2-((2R)-1-(toluene-4-sulfonyl)pyrrolidine-2-carboxamido)ethyl]phenyl} ester
- N*-(toluene-4-sulfonyl)-L-4-(methanesulfonyloxy)prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester
- 45 *N*-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

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- N*-(4-aminocarbonylbenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- 5 *N*-(4-amidinobenzenesulfonyl)-L-prolyl-L-4-(thiomorpholin-4-ylcarbonyloxy)phenylalanine
- N*-(4-nitrobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine
- 10 *N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)]phenylalanine ethyl ester
- N*-(4-fluorobenzenesulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
- 15 *N*-(4-fluorobenzenesulfonyl)thiazolidinyl-2-carbonyl-L-4-(4-methylhomopiperazin-1-ylcarbonyloxy)phenylalanine
- N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester
- 20 *N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- 25 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine
- 30 *N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- 35 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester
- 40 *N*-(4-fluorobenzenesulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester
- N*-(toluene-4-sulfonyl)-L-(1-methanesulfonylpyrazin-3-carbonyl)-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine
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*N*-(toluene-4-sulfonyl)-*L*-4-(methanesulfonyloxy)prolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

5 *N*-(methanesulfonyl)-*N*-benzylglycinyll-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(4-bromobenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

10 *N*-(4-trifluoromethoxybenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

15 *N*-(4-trifluoromethoxybenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(*N,N*-dimethylcarbamyloxy)phenylalanine *tert*-butyl ester

*N*-(4-trifluoromethoxybenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

20 *N*-(4-fluorobenzenesulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

*N*-(4-fluorobenzenesulfonyl)-*L*-(4-hydroxy)prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

25 *N*-(4-trifluoromethoxybenzenesulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

30 *N*-(1-methylimidazole-4-sulfonyl)-*L*-prolyl-*L*-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine

*N*-(1-methylimidazole-4-sulfonyl)-*L*-prolyl-*L*-3-chloro-4-(*N,N*-dimethylcarbamyloxy)phenylalanine isopropyl ester

35 *N*-(1-methylimidazole-4-sulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

*N*-(1-methylimidazole-4-sulfonyl)-*L*-(5,5-dimethyl)thiaprolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

40 *N*-(1-methylpyrazole-3-sulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

45 *N*-(1-methylpyrazole-3-sulfonyl)-*L*-prolyl-*L*-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

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*N*-(1-methylpyrazole-3-sulfonyl)-L-prolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

5 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine *tert*-butyl ester

*N*-(1-methylimidazole-4-sulfonyl)-L-prolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine isopropyl ester

10 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-4-(*N,N*-dimethylcarbamyloxy)phenylalanine 2-phenoxyethyl ester

15 *N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

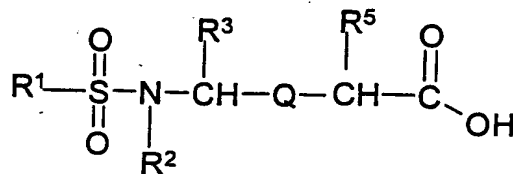
*N*-(1-methylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine ethyl ester

20 *N*-(3-chloro-1,5-dimethylpyrazole-3-sulfonyl)-L-(5,5-dimethyl)thiaprolyl-L-3-chloro-4-(4-(5-trifluoromethyl-2-pyridyl)piperazin-1-ylcarbonyloxy)phenylalanine

and pharmaceutically acceptable salts thereof as well as any of the ester compounds recited above wherein one ester is replaced with another ester  
25 selected from the group consisting of methyl ester, ethyl ester, *n*-propyl ester, isopropyl ester, *n*-butyl ester, isobutyl ester, *sec*-butyl ester, *tert*-butyl ester and neopentyl ester.

30 17. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of formula I:

35



I

wherein

R<sup>1</sup> is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

5 R<sup>2</sup> is selected from the group consisting of hydrogen, alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and R<sup>1</sup> and R<sup>2</sup> together with the nitrogen atom bound to R<sup>2</sup> and the SO<sub>2</sub> group bound to R<sup>1</sup> can form a heterocyclic or a substituted heterocyclic group;

10 R<sup>3</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and, when R<sup>2</sup> does not form a heterocyclic group with R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom bound to R<sup>2</sup> and the carbon atom bound to R<sup>3</sup> can form a heterocyclic or a substituted heterocyclic group;

15 R<sup>5</sup> is  $-(CH_2)_x-Ar-R^{5'}$  where R<sup>5'</sup> is selected from the group consisting of  $-O-Z-NR^8R^{8'}$  and  $-O-Z-R^{12}$  wherein R<sup>8</sup> and R<sup>8'</sup> are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where R<sup>8</sup> and R<sup>8'</sup> are joined to form a heterocycle or a substituted heterocycle, R<sup>12</sup> is selected from the group consisting of heterocycle and substituted heterocycle, and Z is selected from the group consisting of  $-C(O)-$  and  $-SO_2-$ ,

20 Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl, x is an integer of from 1 to 4;

Q is  $-C(X)NR^7-$  wherein R<sup>7</sup> is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

25 and pharmaceutically acceptable salts thereof.

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$R^5$  is  $-(CH_2)_x-Ar-R^{5'}$  and  $R^{5'}$  is selected from the group consisting of  $-O-Z-NR^8R^{8'}$  and  $-O-Z-R^{12}$  wherein  $R^8$  and  $R^{8'}$  are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocyclic, and where  $R^8$  and  $R^{8'}$  are joined to form a heterocycle or a substituted heterocycle,  $R^{12}$  is selected from the group consisting of heterocycle and substituted heterocycle, and  $Z$  is selected from the group consisting of  $-C(O)-$  and

Ar is aryl, heteroaryl, substituted aryl or substituted heteroaryl,  
 $x$  is an integer of from 1 to 4;

Q is  $-C(X)NR^7$ - wherein  $R^7$  is selected from the group consisting of hydrogen and alkyl; and X is selected from the group consisting of oxygen and sulfur;

and pharmaceutically acceptable salts thereof  
with the following provisos

(B) when R<sup>1</sup> is *p*-methylphenyl, R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom pendent to R<sup>2</sup> and the carbon atom pendent to R<sup>3</sup> form a pyrroldinyl group derived from D-proline; R<sup>5</sup> is *p*-[(4-methylpiperazin-1-yl)NC(O)O- benzoyl derived from D-phenylalanine and Q is -C(O)NH- then R<sup>6</sup> is not (CH<sub>3</sub>)<sub>3</sub>; and

(C) when R<sup>1</sup> is pyrimidin-2-yl, R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom bound to R<sup>2</sup> and the carbon atom bound to R<sup>3</sup> form a pyrrolidinyl ring, R<sup>5</sup> is *p*-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl and Q is -C(O)NH- then R<sup>6</sup> is not -OC(CH<sub>3</sub>)<sub>3</sub>.

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22. A pharmaceutical composition according to Claims 17 or 18 wherein R<sup>1</sup> and R<sup>2</sup> together with the nitrogen atom bound to R<sup>2</sup> and the SO<sub>2</sub> group bound to R<sup>1</sup> are joined to form a heterocyclic group or substituted heterocyclic group.

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23. A pharmaceutical composition according to Claims 17 or 18 wherein R<sup>2</sup> and R<sup>3</sup> together with the nitrogen atom bound to R<sup>2</sup> substituent and the carbon bound to the R<sup>3</sup> substituent form a heterocyclic group or a substituted heterocyclic group.

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24. A pharmaceutical composition according to Claim 23 wherein the heterocyclic group is saturated.

25. A pharmaceutical composition according to Claim 23 wherein the heterocyclic group is selected from the group consisting of azetidiny, thiazolidiny, piperidiny, piperaziny, dihydroindoly, tetrahydroquinoliny, thiomorpholiny, pyrrolidiny, 4-hydroxypyrrolidiny, 4-oxopyrrolidiny, 4-fluoropyrrolidiny, 4,4-difluoropyrrolidiny, 4-(thiomorpholin-4-ylC(O)O-)pyrrolidiny, 4-(CH<sub>3</sub>S(O)<sub>2</sub>O-)pyrrolidiny, 3-phenylpyrrolidiny, 3-thiophenylpyrrolidiny, 4-aminopyrrolidiny, 3-methoxypyrrolidiny, 4,4-dimethylpyrrolidiny, 4-N-Cbz-piperaziny, 4-(CH<sub>3</sub>S(O)<sub>2</sub>-)piperaziny, 5,5-dimethylthiazolidin-4-yl, 1,1-dioxo-thiazolidiny, 1,1-dioxo-5,5-dimethylthiazolidin-2-yl and 1,1-dioxothiomorpholiny.

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26. A pharmaceutical composition according to Claims 17 or 18 wherein R<sup>3</sup> is selected from the group consisting of methyl, phenyl, benzyl, diphenylmethyl, -CH<sub>2</sub>CH<sub>2</sub>-COOH, -CH<sub>2</sub>-COOH, 2-amidoethyl, *iso*-butyl, *t*-butyl, -CH<sub>2</sub>O-benzyl and hydroxymethyl.

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27. A pharmaceutical composition according to Claims 17 or 18 wherein Q is -C(O)NH- or -C(S)NH-.

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10 3-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl,  
4-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl,  
4-[(CH<sub>3</sub>)<sub>2</sub>NS(O)<sub>2</sub>O-]benzyl,  
4-[(piperidin-1'-yl)C(O)O-]benzyl,  
4-[(piperidin-4'-yl)C(O)O-]benzyl,  
15 4-[(1'-methylpiperidin-4'-yl)C(O)O-]benzyl,  
4-[(4'-hydroxypiperidin-1'-yl)C(O)O-]benzyl,  
4-[(4'-formyloxypiperidin-1'-yl)C(O)O-]benzyl,  
4-[(4'-ethoxycarbonylpiperidin-1'-yl)C(O)O-]benzyl,  
4-[(4'-carboxypiperidin-1'-yl)C(O)O-]benzyl,  
20 4-[(3'-hydroxymethylpiperidin-1'-yl)C(O)O-]benzyl,  
4-[(4'-hydroxymethylpiperidin-1'-yl)C(O)O-]benzyl,  
4-[(4'-phenyl-1'-Boc-piperidin-4'-yl)-C(O)O-]benzyl,  
4-[(4'-piperidon-1'-yl ethylene ketal)C(O)O-]benzyl,  
4-[(piperazin-4'-yl)-C(O)O-]benzyl,  
25 4-[(1'-Boc-piperazin-4'-yl)-C(O)O-]benzyl,  
4-[(4'-methylpiperazin-1'-yl)C(O)O-]benzyl,  
4-[(4'-methylhomopiperazin-1'-yl)C(O)O-]benzyl,  
4-[(4'-(2-hydroxyethyl)piperazin-1'-yl)C(O)O-]benzyl,  
4-[(4'-phenylpiperazin-1'-yl)C(O)O-]benzyl,  
30 4-[(4'-(pyridin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,  
4-[(4'-(4-trifluoromethylpyridin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,

- 4-[(4'-(pyrimidin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,  
 4-[(4'-acetylpiperazin-1'-yl)C(O)O-]benzyl,  
 4-[(4'-(phenylC(O)-)piperazin-1'-yl)C(O)O-]benzyl,  
 4-[(4'-(pyridin-4-ylC(O)-)piperazin-1'-yl)C(O)O-]benzyl,  
 5 4-[(4'-(phenylNHC(O)-)piperazin-1'-yl)C(O)O-]benzyl,  
 4-[(4'-(phenylNHC(S)-)piperazin-1'-yl)C(O)O-]benzyl,  
 4-[(4'-methanesulfonylpiperazin-1'-yl-C(O)O-]benzyl,  
 4-[(4'-trifluoromethanesulfonylpiperazin-1'-yl-C(O)O-]benzyl,  
 4-[(morpholin-4'-yl)C(O)O-]benzyl,  
 10 3-nitro-4-[(morpholin-4'-yl)-C(O)O-]benzyl,  
 4-[(thiomorpholin-4'-yl)C(O)O-]benzyl,  
 4-[(thiomorpholin-4'-yl sulfone)-C(O)O-]benzyl,  
 4-[(pyrrolidin-1'-yl)C(O)O-]benzyl,  
 4-[(2'-methylpyrrolidin-1'-yl)C(O)O-]benzyl,  
 15 4-[(2'-(methoxycarbonyl)pyrrolidin-1'-yl)C(O)O-]benzyl,  
 4-[(2'-(hydroxymethyl)pyrrolidin-1'-yl)C(O)O-]benzyl,  
 4-[(2'-(N,N-dimethylamino)ethyl)(CH<sub>3</sub>)NC(O)O-]benzyl,  
 4-[(2'-(N-methyl-N-toluene-4-sulfonylamino)ethyl)(CH<sub>3</sub>)N-  
     C(O)O-]benzyl,  
 20 4-[(2'-(morpholin-4'-yl)ethyl)(CH<sub>3</sub>)NC(O)O-]benzyl,  
 4-[(2'-(hydroxy)ethyl)(CH<sub>3</sub>)NC(O)O-]benzyl,  
 4-[bis(2'-(hydroxy)ethyl)NC(O)O-]benzyl,  
 4-[(2'-(formyloxy)ethyl)(CH<sub>3</sub>)NC(O)O-]benzyl,  
 4-[(CH<sub>3</sub>OC(O)CH<sub>2</sub>)HNC(O)O-]benzyl,  
 25 4-[2'-(phenylNHC(O)O-)ethyl-]HNC(O)O-]benzyl,  
 3-chloro-4-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl,  
 3-chloro-4-[(4'-methylpiperazin-1'-yl)C(O)O-]benzyl,  
 3-chloro-4-[(4'-(pyridin-2-yl)piperazin-1'-yl)C(O)O-]benzyl,  
 3-chloro-4-[(thiomorpholin-4'-yl)C(O)O-]benzyl, and  
 30 3-fluoro-4-[(CH<sub>3</sub>)<sub>2</sub>NC(O)O-]benzyl.



31. A pharmaceutical composition according to Claim 18 wherein R<sup>6</sup> is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), methoxy, ethoxy, *n*-propoxy, isopropoxy, *n*-butoxy, *t*-butoxy, cyclopentoxy, cyclopropylmethoxy, neopentoxy, 2- $\alpha$ -isopropyl-4- $\beta$ -methylcyclohexoxy, 2- $\beta$ -isopropyl-4- $\beta$ -methylcyclohexoxy, 2-methoxyphenoxy, 2-(morpholin-4-yl)ethoxy, -O(CH<sub>2</sub>CH<sub>2</sub>O)<sub>2</sub>CH<sub>3</sub>, 2-(phenoxy)ethoxy, -OCH<sub>2</sub>C(CH<sub>3</sub>)<sub>2</sub>NHBoc, -NH<sub>2</sub>, benzyloxy, -NHCH<sub>2</sub>COOH, -NHCH<sub>2</sub>CH<sub>2</sub>COOH, -NH-adamantyl, -NHSO<sub>2</sub>-*p*-CH<sub>3</sub>- $\phi$ , -NHCH<sub>2</sub>CH<sub>2</sub>COOCH<sub>2</sub>CH<sub>3</sub>, -NHOY' where Y' is hydrogen, methyl, *iso*-propyl or benzyl, -O-(N-succinimidyl), -O-cholest-5-en-3- $\beta$ -yl, -OCH<sub>2</sub>-OC(O)C(CH<sub>3</sub>)<sub>3</sub>, -O(CH<sub>2</sub>)<sub>z</sub>NHC(O)W where z is 1 or 2 and W is selected from the group consisting of pyrid-3-yl, N-methylpyridyl, and N-methyl-1,4-dihydro-pyrid-3-yl, -NR''C(O)-R' where R' is aryl, heteroaryl or heterocyclic and R'' is hydrogen or -CH<sub>2</sub>C(O)OCH<sub>2</sub>CH<sub>3</sub>.

32. A method for binding VLA-4 in a biological sample which method comprises contacting the biological sample with a compound of Claim 1 or 2 under conditions wherein said compound binds to VLA-4.

33. A method for treating an inflammatory condition in a mammalian patient which condition is mediated by VLA-4 which method comprises administering to said patient a therapeutically effective amount of a pharmaceutical composition of Claim 17 or 18.

34. The method according to Claim 33 wherein said inflammatory condition is selected from the group consisting of asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, multiple sclerosis, rheumatoid arthritis, tissue transplantation, tumor metastasis, meningitis, encephalitis, stroke, nephritis, retinitis, atopic dermatitis, psoriasis, myocardial ischemia and acute leukocyte-mediated lung injury.

add  
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